

PATENT CLAIMS

1. pH-sensitive polymer which is a (meth)acrylate copolymer composed of
- 5 20 to 65% by weight methacrylic acid units and 80 to 35% by weight units of C₁- to C₁₈-alkyl esters of (meth)acrylic acid,
- 10 characterized in that
- it has a molecular weight in the range from 1 000 to 50 000 g/mol,
- 15 and brings about at least 60% haemolysis at pH 5.5, and less than 5% haemolysis at pH 7.4, in a concentration of 150 µg/ml in a cytotoxicity test with human red blood cells.
- 20 2. pH-sensitive polymer according to Claim 1, characterized in that it is
- a (meth)acrylate copolymer composed of
- 25 40 to 60% by weight methacrylic acid units and 60 to 40% by weight ethyl acrylate units.
- 30 3. pH-sensitive polymer according to Claim 1, characterized in that it is
- a (meth)acrylate copolymer composed of
- 35 20 to 40% by weight methacrylic acid units and 25 to 45% by weight methyl acrylate units, 25 to 45% by weight ethyl acrylate units.

4. pH-sensitive polymer according to Claim 1,
characterized in that it is
- a (meth)acrylate copolymer composed of
- 5 40 to 60% by weight methacrylic acid units,
60 to 30% by weight ethyl acrylate units and
2 to 20% by weight butyl methacrylate.
5. pH-sensitive polymer according to Claim 1,
10 characterized in that it is
- a (meth)acrylate copolymer composed of
- 15 40 to 60% by weight methacrylic acid units,
60 to 40% by weight ethyl acrylate units and
0.1 to 2% by weight units of a C₈- to C₁₆-alkyl
ester of acrylic or methacrylic acid.
6. pH-sensitive polymer according to one or more of
20 Claims 1 to 5, characterized in that at a
concentration of 0.03125 mg/ml it brings about in
the MTT test with the mouse macrophage-like cell
type J774A.1 (ATCC TIB-67) a percentage-value of
cell survival of at least 25 % , based on a 100%
25 survival rate in the control experiment.
7. pH-sensitive polymer according to one or more of
Claims 1 to 5, characterized in that at a
concentration of 0.03125 mg/ml it brings about in
30 the LDH test with the mouse macrophage-like cell
type J774A.1 (ATCC TIB-67) a LDH release-value of
at not more than 40%, based on 100% cytolysis
(toxicity) in the control experiment.

8. pH-sensitive polymer according to one or more of Claims 1 to 7, characterized in that it is in the form of a conjugate or a complex with a pharmaceutically effective natural or synthetic biomolecule or an active pharmaceutical ingredient.
9. pH-sensitive polymer according to one or more of Claims 1 to 7, characterized in that it is coupled to a conformation-altering agent.
10. pH-sensitive polymer according to one or more of Claims 1 to 7, characterized in that it is a constituent of a complex crosslinked via nucleic acids after chemical modification.
11. Process for preparing a pH-sensitive polymer according to one or more of Claims 1 to 10 by free-radical polymerization of the monomers in the presence of polymerization initiators and molecular weight regulators by block, bead or emulsion polymerization, group transfer polymerization (GTP), atom transfer radical polymerization (ATRP) and discharge of the polymer, characterized in that the polymer is dissolved, is purified and is then dried.
12. Process according to Claim 11, characterized in that dodecyl mercaptan and/or 2-ethylhexyl thioglycolate is employed as molecular weight regulator.
13. Use of a pH-sensitive polymer according to one or more of Claims 1 to 10 as carrier, conjugate or complex with natural or synthetic biomolecules or active pharmaceutical ingredients, where appropriate as constituent of microparticles, nanoparticles, liposomes, emulsions and/or lipid vesicles.

14. Use according to Claim 13 as carrier, conjugate or complex in combination with lipids, proteins, peptides, nucleic acids (DNA and RNA), in particular oligonucleotides, nucleosides, antisense DNA or antisense RNA, nucleotides, toxins, immunotoxins, antibodies or fragments of antibodies or a combination thereof.
- 10 15. Use according to Claim 13 as carrier, conjugate or complex in combination with active pharmaceutical ingredients from the active ingredient classes of analgesics, antiallergics, antirheumatics, antibiotics, antiinfectives, antiparkinson agents, antipsoriatics, antitumour agents, dermatologicals, gout remedies, immunoregulators, gastrointestinal agents, neurotropic agents, ophthalmologicals, cytostatics.
- 20 16. Use of a pH-sensitive polymer according to one or more of Claims 1 to 10 as ingredient of a dermal, transdermal, parenteral, nasal, pulmonary, vaginal or oral dosage form.
- 25 17. Use according to Claim 16 in a drug form for the therapy of cancer, infections (including HIV), cardiovascular disorders (e.g. arteriosclerosis), arthritis, neurodegenerative disorders (Parkinsonism, multiple sclerosis, Alzheimer's), genetically related enzyme-deficiency disorders, hepatitis B and C, mucoviscidosis, hypercholesteremia, Down's syndrome, muscular dystrophy, autoimmune diseases, shingles and herpes, psoriasis, CMV retinitis, Crohn's disease, ulcerative colitis, diabetes.
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